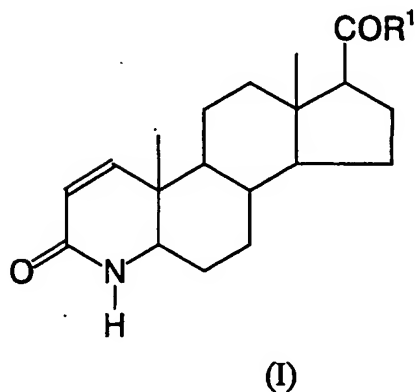


CLAIMS

We claim:

1. A process for obtaining 17 β -(substituted)-3-oxo- $\Delta^{1,2}$ -4-azasteroid of formula (I)



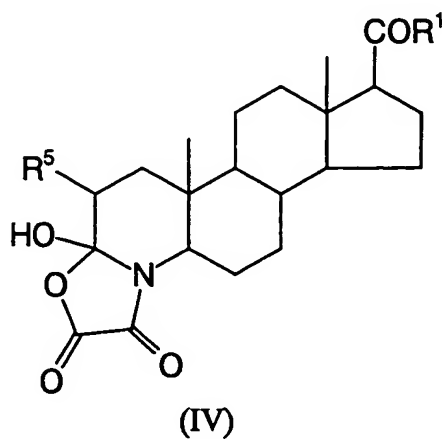
5

wherein

R^1 can be a linear or branched alkyl group having 1 to 4 carbon atoms; OR^2 , wherein R^2 is a linear or branched alkyl radical having 1 to 4 carbon atoms; or NR^3R^4 , wherein R^3 and R^4 ,
10 atoms,

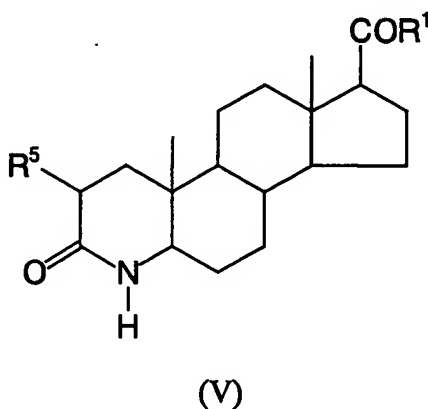
comprising the steps of:

a) cleaving the oxazolidinedione ring present in a 2-(substituted)-3-hydroxyoxazolidinedione of formula (IV):



15

wherein R^1 has the same meaning as above and R^5 is selected between Br and trichloromethylsulfonyl;
to obtain a 2-(substituted)-3-oxo-4-azasteroid of formula (V):



5

wherein R^1 and R^5 have the same meaning as above; and

b) removing the R^5 substituent present in said compound of formula (V), together with a hydrogen at position 1, to produce said 17β -(substituted)-3-oxo- $\Delta^{1,2}$ -4-azasteroid of formula (I).

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2. A process according to claim 1, wherein the cleavage of the oxazolidinedione ring present in the compound of formula (IV) is carried out by oxidation with potassium permanganate.

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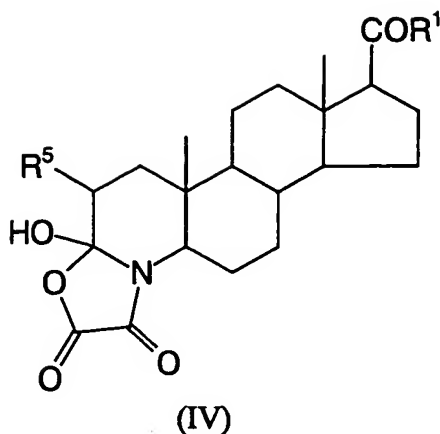
3. A process according to claim 2, wherein the cleavage of the oxazolidinedione ring present in the compound of formula (IV) is carried out by oxidation with potassium permanganate in an organic solvent chosen from among methanol, acetone, dichloromethane, 1,2-dichloroethane and mixtures thereof with water, at a temperature comprised between 0°C and 80°C.

4. A process according to claim 1, wherein the removal of the R^5 group in the compounds of general formula (V) is carried out with potassium t-butoxide in dimethylformamide.

5. A process according to claim 1, wherein the obtained compound of formula (I) is finasteride.

20

6. A compound of formula (IV)



wherein

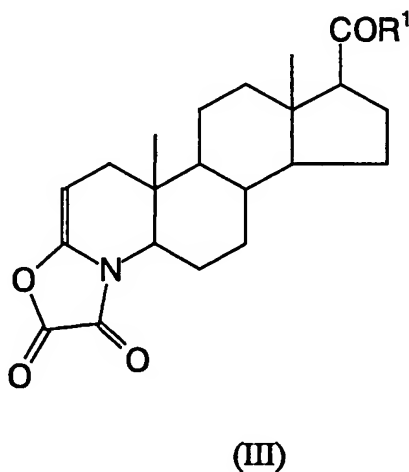
- 5 R^1 is a linear or branched alkyl group having 1 to 4 carbon atoms; OR^2 , wherein R^2 is a linear or branched alkyl radical having 1 to 4 carbon atoms; or NR^3R^4 , wherein R^3 and R^4 , equal or different, represent hydrogen or a linear or branched alkyl radical having 1 to 4 carbon atoms, and R^5 is selected between Br and trichloromethanesulfonyl.

7. A compound according to claim 6 chosen from the compounds of formula (IV)

wherein:

- 10 R^1 is t-butylamino and R^5 is Br;
 R^1 is t-butylamino and R^5 is trichloromethanesulfonyl;
 R^1 is methoxy and R^5 is Br; and
 R^1 is methoxy and R^5 is trichloromethanesulfonyl.

8. A process for obtaining a compound of formula (IV) according to claim 6,
 15 comprising reacting a compound of formula (III)



wherein

R^1 is a linear or branched alkyl group having 1 to 4 carbon atoms; OR^2 , wherein R^2 is a linear or branched alkyl radical having 1 to 4 carbon atoms; or NR^3R^4 , wherein R^3 and R^4 , equal or different, represent hydrogen or a linear or branched alkyl radical having 1 to 4 carbon atoms;

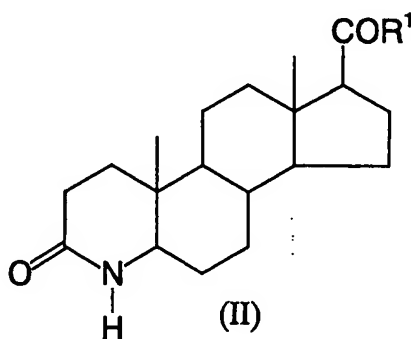
5 with a compound selected from between:

- (i) a reagent capable of adding hypobromous acid to the double bond at position 2,3 of the compound of formula (III); and
 - (ii) a trichloromethylsulfonyl halide,
- to produce said compound of formula (IV).

10

9. A process for obtaining a compound of formula (IV) according to claim 6, comprising:

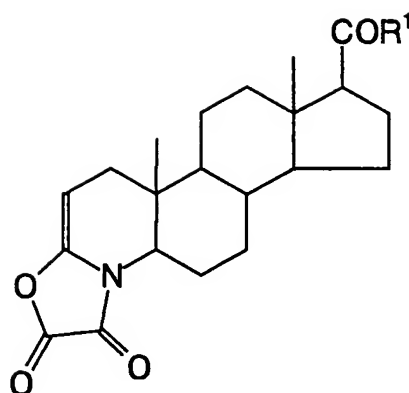
- a) reacting a 17β -(substituted)-3-oxo-4-azasteroid of formula (II):



15 wherein

R^1 is a linear or branched alkyl group having 1 to 4 carbon atoms; OR^2 , wherein R^2 is a linear or branched alkyl radical having 1 to 4 carbon atoms; or NR^3R^4 , wherein R^3 and R^4 , equal or different, represent hydrogen or a linear or branched alkyl radical having 1 to 4 carbon atoms;

with oxalyl chloride to produce a vinylidenyloxazolidinedione of formula (III):



(III)

wherein R¹ has the the same meaning as above; and

b) reacting said compound of formula (III) with a compound selected between:

(i) a reagent capable of adding hypobromous acid to the double bond at position 2,3 of the compound of formula (III); and

(ii) a trichloromethylsulfonyl halide,

to produce said compound of formula (IV).

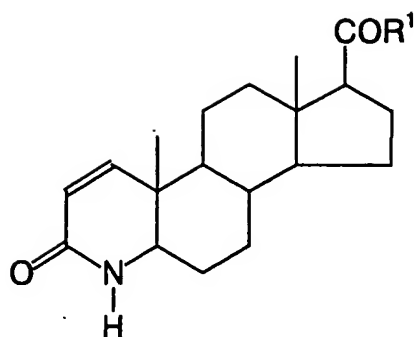
10. A process according to claim 8, wherein said reagent capable of adding hypobromous acid to the double bond at position 2,3 is chosen from among N-bromosuccinimide, 1,3-dibromo-5,5-dimethylhydantoin and mixtures thereof, in an organic solvent, in presence of an acid, at a temperature comprised between -20°C and 25°C.

11. A process according to claim 10, wherein said organic solvent is acetone and said acid is perchloric acid.

12. A process according to claim 8, wherein the reaction of the compound of formula (III) with said trichloromethylsulfonyl halide is carried out in an organic solvent, in presence of a base, at a temperature comprised between -10°C and 80°C.

13. A process according to claim 12, wherein said organic solvent is methylene chloride and said base is diisopropylethylamine.

14. A process for producing a 17β-(substituted)-3-oxo-Δ^{1,2}-4-azasteroid of formula (I)

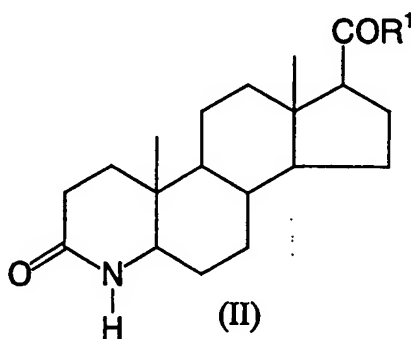


(I)

wherein

R^1 is a linear or branched alkyl group having 1 to 4 carbon atoms; OR^2 , wherein R^2 is a
 5 linear or branched alkyl radical having 1 to 4 carbon atoms; or NR^3R^4 , wherein R^3 and R^4 , equal or
 different, represent hydrogen or a linear or branched alkyl radical having 1 to 4 carbon atoms,
 comprising the steps of:

a) reacting a 17β-(substituted)-3-oxo-4-azasteroid of formula (II):

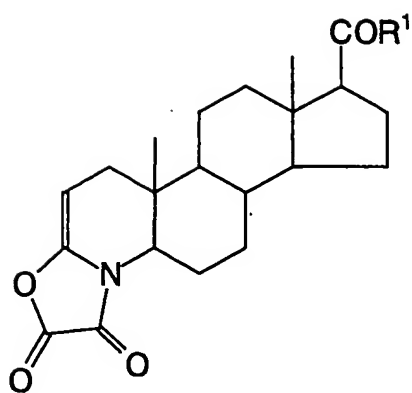


(II)

10

wherein

R^1 is a linear or branched alkyl group having 1 to 4 carbon atoms; OR^2 , wherein R^2 is a
 linear or branched alkyl radical having 1 to 4 carbon atoms; or NR^3R^4 , wherein R^3 and R^4 , equal or
 different, represent hydrogen or a linear or branched alkyl radical having 1 to 4 carbon atoms,
 15 with oxalyl chloride to produce a vinylidenyloxazolidinedione of formula (III):



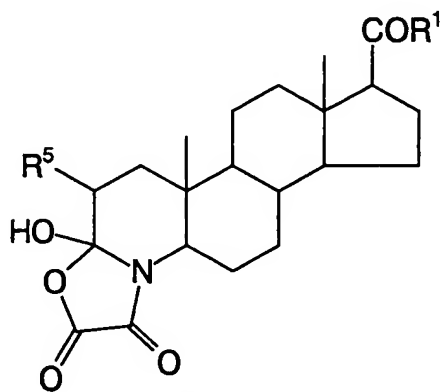
(III)

wherein R^1 has the same meaning as above;

b) reacting said compound of formula (III) with a compound selected between:

- (i) a reagent capable of adding hypobromous acid to the double bond at position 2,3 of the compound of formula (III); and
- (ii) a trichloromethylsulfonyl halide,

to produce said compound of formula (IV):



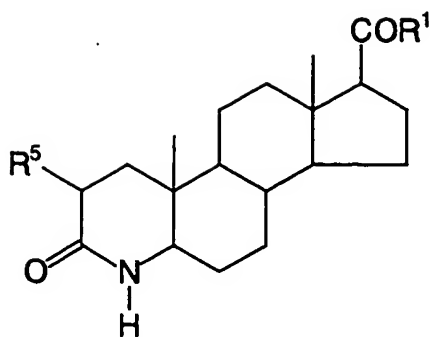
(IV)

10 wherein

R^1 has the same meaning as above, and

R^5 is selected between Br and trichloromethylsulfonyl,

c) cleaving the oxazolidinedione ring present in said compound of formula (IV) to produce a compound of formula (V):



(V)

wherein R^1 and R^5 have the same meaning as above; and

- d) removing the R^5 substituent present in said compound of formula (V), together
 5 with a hydrogen at position 1, to produce said 17β -(substituted)-3-oxo- $\Delta^{1,2}$ -4-azasteroid of formula (I).

15. A process according to claim 14, wherein the obtained compound of formula (I) is finasteride.